

WHAT IS CLAIMED IS:

1. A compound of formula (La) or (Lb):



wherein:

$\text{R}^1$  and  $\text{R}^2$  are the same or different and each represents a hydrogen atom or an amino protecting group;

$\text{R}^{3a}$  represents a hydrogen atom or a hydroxy protecting group or when  $\text{R}^1$  is a hydrogen atom;

$\text{R}^2$  and  $\text{R}^{3a}$  taken together form a group of formula  $-(\text{C}=\text{O})-$ ;

$\text{R}^{4a}$  represents a  $\text{C}_1$ - $\text{C}_{20}$  alkyl group, a  $\text{C}_2$ - $\text{C}_{20}$  alkyl group interrupted with a heteroatom(s), a  $\text{C}_1$ - $\text{C}_{20}$  alkyl group substituted with an aryl group(s) or a heteroaryl group(s), a  $\text{C}_2$ - $\text{C}_{20}$  alkynyl group, a  $\text{C}_3$ - $\text{C}_{20}$  alkynyl group interrupted with a heteroatom(s), a  $\text{C}_2$ - $\text{C}_{20}$  alkynyl group substituted with an aryl group(s) or a heteroaryl group(s), a  $\text{C}_2$ - $\text{C}_{20}$  alkenyl group, a  $\text{C}_3$ - $\text{C}_{20}$  alkenyl group interrupted with a heteroatom(s), a  $\text{C}_2$ - $\text{C}_{20}$  alkenyl group substituted with an aryl group(s) or a heteroaryl group(s), a  $\text{C}_2$ - $\text{C}_{20}$  alkyl group which is substituted with an aryl group(s) or a heteroaryl group(s) and interrupted with a heteroatom(s), or a cycloalkyl group;

$m$  represent an integer from 0 to 4;

Ar represents an aryl group, a heteroaryl group, an aryl group substituted with 1 to 5 substituents selected from substituent group a, a heteroaryl group substituted with 1 to 5 substituents selected from substituent group a, with the proviso that when Ar is an aryl group,

$\text{R}^1$  is not a hydrogen atom and  $\text{R}^2$  and/or  $\text{R}^{3a}$  do not represent a hydrogen atom;

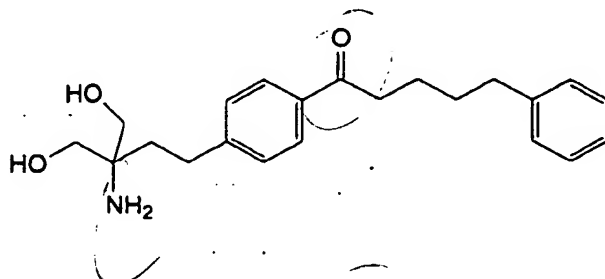
wherein substituent group a represents a halogen atom, a lower alkyl group, a halogenated lower alkyl group, a lower alkoxy group, a lower alkylthio group, a carboxyl group, a lower alkoxy carbonyl group, a hydroxyl group, a lower aliphatic acyl group, an amino group, a lower mono-alkylamino group, a lower di-alkylamino group, a lower aliphatic acylamino group, a cyano group, and a nitro group.

2. A compound according to claim 1 wherein said compound has a formula (La).

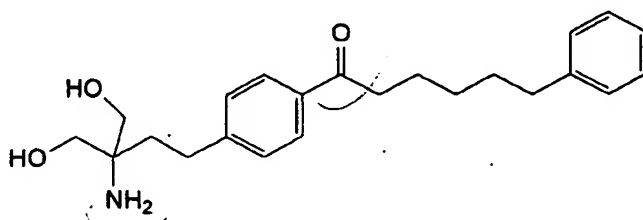
3. A compound according to claim 1 or 2 wherein  $\text{R}^1$  is a hydrogen atom.

compounds of formula (I) in the present invention are disclosed at all. Only the following three compounds of the compounds of formula (c) are highly similar in chemical structure to the compounds of formula (I) in the present invention:

Example 1



Example 3



On the other hand, various optically active substituted amino acid and substituted amino alcohol derivatives (particularly  $\alpha$ -substituted amino acid and  $\alpha$ -substituted amino alcohol derivatives) exhibit biological activity; are partial components of natural products and pharmaceutical agents; and are important synthetic intermediates. For example,  $\alpha$ -methyl- $\alpha$ -vinyl amino acids are useful as an amino acid decarboxylase inhibitor;  $\alpha$ -ethynyl- $\alpha$ -methyl amino acids are useful as a glutamic acid decarboxylase inhibitor; ISP-1 (Myriocin), which is isolated from metabolites of *Isalia sinclairii*, has immune suppression activity; and Conagenine and the like participate in the regulation of immune response through T-cells. From these results,  $\alpha$ -substituted amino acid and amino alcohol derivatives are very interesting compounds as a partial component of natural products having biological activity, in the field of biochemistry and in the field of organic synthesis.

These  $\alpha$ -substituted amino acid and amino alcohol derivatives have an asymmetric center(s) and an efficient process for the preparation of one enantiomer thereof has been expected.

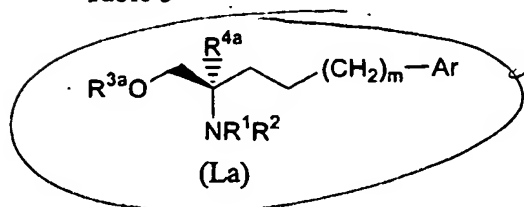
There are a few reports of processes for the preparation of optically active substituted amino acid and amino alcohol derivatives and a few reports of synthetic examples of optically active amino alcohol derivatives such as optically active 4,4-disubstituted oxazolizin-2-one derivatives, which are useful synthetic intermediates of

yl}butan-1-ol,

1-2287: 2-amino-2-methyl-4-{5-[3-(3-acetylphenoxy)propynyl]thiophen-2-yl}butan-1-ol, and

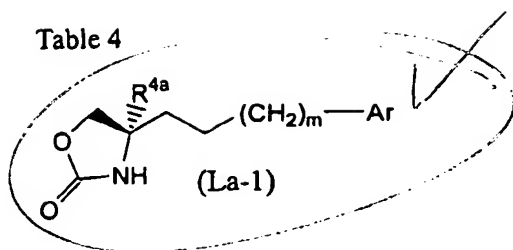
1-2288: 2-amino-2-methyl-4-{5-[3-(4-acetylphenoxy)propynyl]thiophen-2-yl}butan-1-ol.

Table 3



Exemp. Compd. No.	R <sup>4a</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3a</sup>	Ar	m
3-1	Me	H	Boc	TBDMS	Ph	0
3-2	Me	H	Bz	TBDMS	Ph	0
3-3	Me	H	Ac	TBDMS	Ph	0
3-4	Me	H	Boc	H	2-Fur	0
3-5	Me	H	Boc	H	2-The	0
3-6	Me	H	Ac	H	2-The	0
3-7	Me	H	Bz	H	2-The	0
3-8	Me	H	Boc	H	6-Bzt	0
3-9	Et	H	Boc	TBDMS	Ph	0
3-10	Et	H	Ac	H	2-Fur	0
3-11	Et	H	Boc	H	2-The	0
3-12	Et	H	Boc	H	6-Bzt	0
3-13	Me	H	Ac	Ac	2-The	0
3-14	Me	H	Ac	Ac	2-Fur	0
3-15	Me	H	Ac	Ac	2-Bzt	0

Table 4



Exemp. Compd. No.	R <sup>4a</sup>	Ar	m
4-1	Me	Ph	0
4-2	Me	2-Fur	0
4-3	Me	3-Fur	0
4-4	Me	2-The	0
4-5	Me	3-The	0
4-6	Me	4-Br-2-The	0
4-7	Me	4-Br-3-The	0
4-8	Me	5-Br-2-The	0
4-9	Me	5-Br-3-The	0
4-10	Me	4-Cl-2-The	0
4-11	Me	4-Cl-3-The	0
4-12	Me	5-Cl-2-The	0
4-13	Me	5-Cl-3-The	0
4-14	Me	2-Pyr	0
4-15	Me	3-Pyr	0
4-16	Me	4-Pyr	0
4-17	Me	6-Bzt	0
4-18	Me	Np(1)	0
4-19	Me	Np(2)	0
4-20	Me	6-Bpyrr	0
4-21	Et	Ph	0
4-22	Et	2-Fur	0
4-23	Et	2-The	0
4-24	Et	6-Bzt	0